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(71) Applicant *(for all designated States except US)*: **BASF AKTIENGESELLSCHAFT** [DE/DE]; Carl-Bosch-Strasse, 67056 Ludwigshafen (DE).

(72) Inventors; and
(75) Inventors/Applicants *(for US only)*: **TORMO I BLASCO, Jordi** [ES/DE]; Carl-Benz-Str. 10-3, 69514 Laudenbach (DE). **BLETTNER, Carsten** [DE/DE]; Richard-Wagner-Str. 48, 68165 Mannheim (DE). **MÜLLER, Bernd** [DE/DE]; Stockingerstr.7, 67227 Frankenthal (DE). **GEWEHR, Markus** [DE/DE]; Goethestr. 21, 56288 Kastellaun (DE). **GRAMMENOS, Wassilios** [GR/DE]; Alexander-Fleming-Str. 13, 67071 Ludwigshafen (DE). **GROTE, Thomas** [DE/DE]; Im Höhnhausen 18, 67157 Wachenheim (DE). **GYPSER, Andreas** [DE/DE]; B 4, 4, 68159 Mannheim (DE). **RHEINHEIMER, Joachim** [DE/DE]; Merziger Str.24, 67063 Ludwigshafen (DE). **SCHÄFER, Peter** [DE/DE]; Römerstr.1, 67308 Ottersheim (DE). **SCHIEWECK, Frank** [DE/DE]; Lindenweg 4, 67258 Hessheim (DE). **SCHWÖGLER, Anja** [DE/DE]; Heinrich-Lanz-Str. 3, 68165 Mannheim (DE). **AMMERMANN, Eberhard** [DE/DE]; Von-Gagern-Str.2, 64646 Heppenheim (DE). **STRATHMANN, Siegfried** [DE/DE]; Donnersbergstr.9, 67117 Limburgerhof (DE). **SCHÖFL, Ulrich** [DE/DE]; Luftschiffring 22c, 68782 Brühl (DE). **STIERL, Reinhard** [DE/DE]; Jahnstr. 8, 67251 Freinsheim (DE).

(74) Common Representative: **BASF AKTIENGESELLSCHAFT**; 67056 Ludwigshafen (DE).

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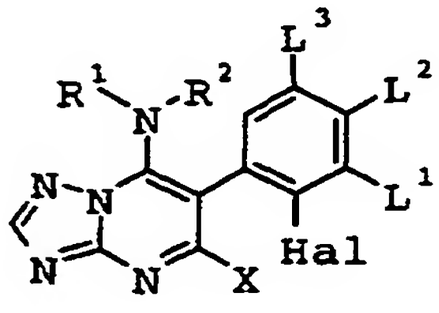
(54) Title: SUBSTITUTED 6-(2-HALOGENNPHENYL)-TRIAZOLOPYRIMIDINES



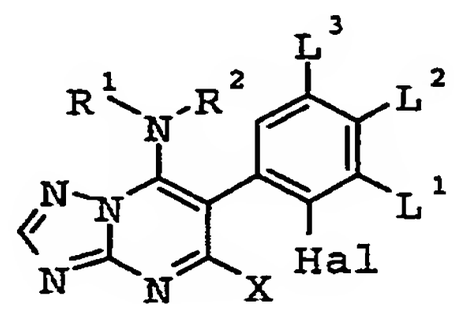
(I)

(57) **Abstract:** Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula (I) in which R1 denote alkyl, alkenyl, alkynyl, alkadienyl, haloalkyl, haloalkenyl, cycloalkyl, phenyl, naphthyl, or a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, wherein R^1 and R^2 radicals may be substituted as defined in the description, R^2denote hydrogen, or a group mentioned for R^1; or R^1 and R^2 together with the interjacent nitrogen atom represent a 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted as defined in the description; Hal is halogen; L^1, L^3 independently denote hydrogen, halogen, or alkyl; L^2 is hydrogen, halogen, haloalkyl, or NH_2, NHR^b, or $N(Rb)_2$, wherein Rb is as defined in the description,wherein at least one from L^1, L^2, and L^3 is not hydrogen; X is halogen, cyano, alkyl, alkoxy, haloalkoxy or alkenyloxy processes for their preparation, compositions containing them and to their use for combating phytopathogenic fungi.

Substituted 6-(2-halogenphenyl)-triazolopyrimidines

Description

The invention relates to substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula I



I

in which

R^1 denote C_1-C_{10}-alkyl, C_2-C_{10}-alkenyl, C_2-C_{10}-alkynyl, or C_4-C_{10}-alkadienyl, C_1-C_{10}-haloalkyl, C_2-C_{10}-haloalkenyl, C_3-C_{10}-cycloalkyl, phenyl, naphthyl, or

a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom,

wherein R^1 and R^2 radicals may be unsubstituted or partly or fully halogenated or may carry one to three groups R^a,

R^a is cyano, nitro, hydroxyl, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_1-C_6-alkoxy, C_1-C_6-alkylthio, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, C_2-C_6-alkenyl, C_2-C_6-alkenyloxy, C_2-C_6-alkynyl, C_3-C_6-alkynyloxy, or C_1-C_4-alkylenedioxy;

R^2 denote hydrogen, or a group mentioned for R^1; or

R^1 and R^2 together with the interjacent nitrogen atom represent a saturated or partially unsaturated 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted by one to three R^a radicals;

Hal is halogen;

L^1, L^3 independently denote hydrogen, halogen, or C_1-C_4-alkyl;

L^2 is hydrogen, halogen, C_1-C_4-haloalkyl, or NH_2, NHR^b, or $N(R^b)_2$,

R^b is C_1-C_8-alkyl, C_3-C_{10}-alkenyl, C_3-C_{10}-alkynyl, C_1-C_6-haloalkyl, C_3-C_6-haloalkenyl, C_3-C_6-haloalkynyl, C_1-C_8-alkoxy-C_1-C_8-alkyl, C_1-C_8-alkylthio-C_1-C_8-alkyl, C_3-C_{10}-cycloalkyl, or C(=O)-A, in which

A is hydrogen, hydroxy, C_1-C_8-alkyl, C_1-C_8-alkoxy, C_1-C_6-halogenalkoxy, C_1-C_8-alkylamino or di-(C_1-C_8-alkyl)amino;

wherein at least one from L^1, L^2, and L^3 is not hydrogen;

X is halogen, cyano, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy or C_3-C_8-alkenyloxy.

Moreover, the invention relates to processes for their preparation, compositions containing them and to their use for combating phytopathogenic fungi.

6-Phenyl-7-amino-triazolopyrimidines are generally known from US 4,567,262, and EP-A 550 113.

Triazolopyrimidines with a trifluorophenyl group in 6-position are disclosed in WO 98/46607 and EP-A 945 453. From EP-A 834 513 diverse 6-pentafluorophenyl-triazolopyrimidines are known.

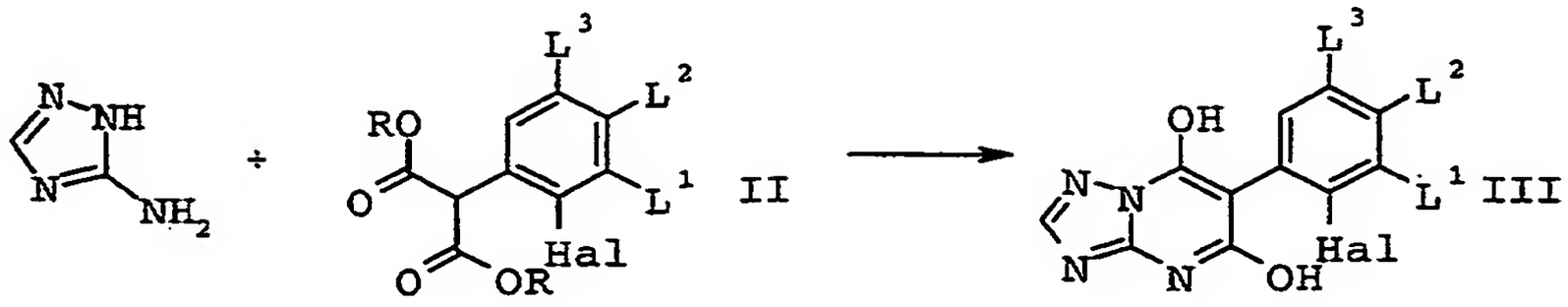
The compounds disclosed in the documents discussed above are said to be active against various phytopathogenic fungi.

It is an object of the present invention to provide compounds having improved fungicidal activity.

We have found that this object is achieved by the compounds defined at the outset. Furthermore, we have found processes for their preparation, compositions comprising them and methods for controlling phytopathogenic fungi using the compounds I.

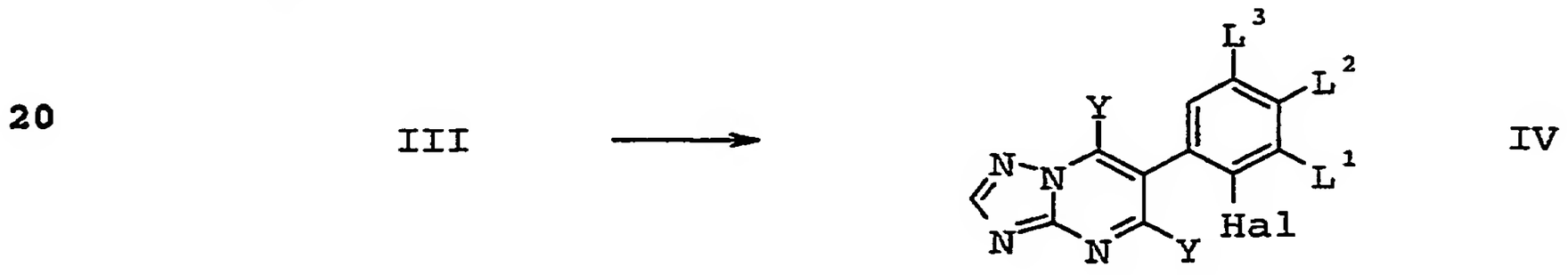
The compounds of formula I differ from the compounds known from closest prior art EP-A 945 453 and EP-A 834 513 in the 6-(2-halogenphenyl) group, which is further substituted in 3-, 4- and/or 5-position.

Compounds of formula I can be prepared similar to the conditions known from EP-A 550 113. Preferably the preparation of compounds of formula I as defined above comprises reacting 5-amino-triazole with 2-(2-halogenphenyl)-substituted malonic acid ester of formula II, in which



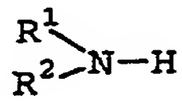
R represents alkyl, preferably C_1-C_6-alkyl, in particular methyl or ethyl, under alkaline conditions, preferably using high boiling tertiary amines as for example tri-n-butylamine as disclosed for example by EP-A 770 615 to yield compounds of formula III.

The resulting 5,7-dihydroxy-6-phenyl-triazolopyrimidine of formula III, wherein L^1 to L^3 are as defined for formula I, is subsequently treated with a halogenating agent, preferably with a brominating or chlorinating agent, such as phosphorus oxybromide or phosphorus oxychloride, neat or in the presence of a solvent to give IV, wherein Y is halogen, such as chlorine or bromine.



The reaction is suitably carried out at a temperature in the range from 0°C to 150°C, the preferred reaction temperature being from 80°C to 125°C as disclosed for example by EP-A 770 615.

Dihalotriazolopyrimidine IV is further reacted with an amine of formula V



V

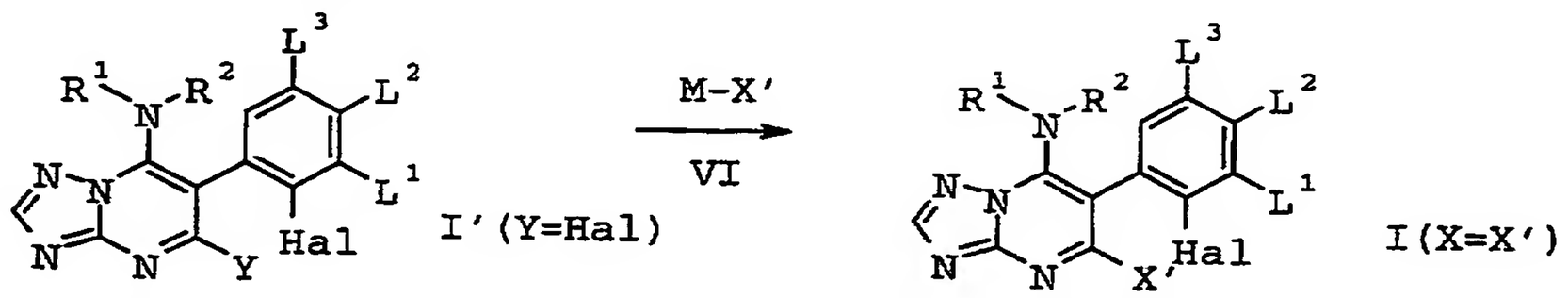
in which R^1 and R^2 are as defined in formula I to produce compounds of formula I in which X is halogen.

The reaction between the 5,7-dihalo compound IV and the amine of formula V can be carried out under conditions known from WO 98/46608. The reaction is preferably carried out in the presence of a solvent. Suitable solvents include ethers, such as dioxane, diethyl ether and, especially, tetrahydrofuran, halogenated hydrocarbons such as dichloromethane and aromatic hydrocarbons, for example toluene.

The reaction is suitably carried out at a temperature in the range from 0°C to 70°C, the preferred reaction temperature being from 10°C to 35°C.

It is also preferred that the reaction is carried out in the presence of a base. Suitable bases include tertiary amines, such as triethylamine, and inorganic bases, such as potassium carbonate or sodium carbonate. Alternatively, an excess of the compound of formula V may serve as a base.

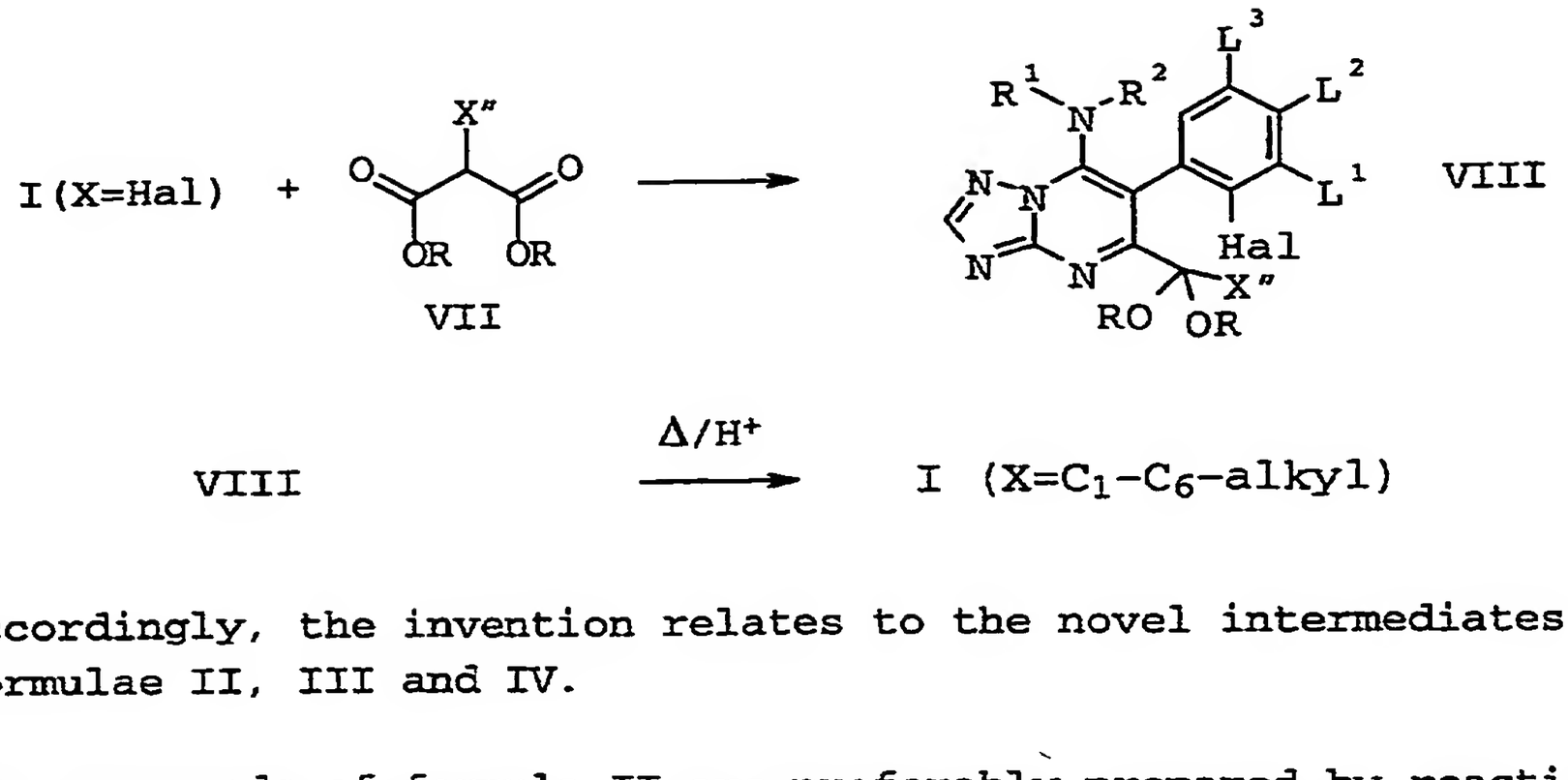
Compounds of formula I in which X denotes cyano, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy or C_3-C_8-alkenyloxy can be prepared by reacting compounds I' in which Y is halogen, preferably chloro, with compounds of formula VI, which are, dependent from the value of X' to be introduced to yield formula I compounds, an anorganic cyano salt, an alkoxylate, haloalkoxylate or an alkenyloxylate, respectively, preferably in the presence of a solvent. The cation M in formula VI has minor influence; for practical and economical reasons usually ammonium-, tetraalkylammonium- or alkalimetal- and earth metal salts are preferred.



The reaction is suitably carried out at a temperature in the range from 0 to 120°C, the preferred reaction temperature being from 10 to 40°C [cf. J. Heterocycl. Chem. Vol.12, p. 861-863 (1975)].

Suitable solvents include ethers, such as dioxane, diethyl ether and, especially, tetrahydrofuran, halogenated hydrocarbons such as dichloromethane and aromatic hydrocarbons, for example toluene.

Compounds of formula I in which X denotes C_1-C_6-alkyl can be prepared by reacting compounds I in which X is halogen, preferably chloro, with malonic acid esters of formula VII, wherein X'' denotes H or C_1-C_5-alkyl and R denotes C_1-C_4-alkyl, to compounds of formula VIII and decarboxylation under conditions described in US 5,994,360.



Accordingly, the invention relates to the novel intermediates of formulae II, III and IV.

The compounds of formula II are preferably prepared by reaction of the corresponding substituted bromobenzenes with sodium dialkylmalonates in the presence of a copper(I) salt [cf. Chemistry Letters, pp. 367-370, 1981; EP-A 10 02 788].

The compounds of formula II may also be prepared by reaction of an alkyl 2-(2-halogenphenyl)-acetate with dialkylcarbonate in the presence of a strong base, preferably sodium ethoxide and sodium hydride (cf. Heterocycles, pp. 1031-1047, 1996).

The substituted phenylacetates which are the starting compounds for compounds of formula II are known and commercially available, and/or they are obtainable by generally known methods.

The reaction mixtures are worked up in a customary manner, for example by mixing with water, phase separation and, if required, chromatographic purification of the crude products. Some of the end products are obtained in the form of colorless or slightly brownish, viscous oils, which are purified or freed from volatile components under reduced pressure and at moderately elevated temperatures. If the end products are obtained as solids, purification can also be carried out by recrystallization or digestion.

If individual compounds I are not obtainable by the routes described above, they can be prepared by derivatization of other compounds I.

In the symbol definitions given in the formulae above, collective terms were used which generally represent the following substituents:

- Halogen: fluorine, chlorine, bromine and iodine;

C_1-C_{10}-alkyl: saturated, straight-chain or branched hydrocarbon radicals having 1 to 10, especially 1 to 6 carbon atoms, for example C_1-C_4-alkyl as mentioned above or pentyl, 1-methylbutyl, 2-methylbutyl, 3-methylbutyl, 2,2-di-methylpropyl, 1-ethylpropyl, hexyl, 1,1-dimethylpropyl, 1,2-dimethylpropyl, 1-methylpentyl, 2-methylpentyl, 3-methylpentyl, 4-methylpentyl, 1,1-dimethylbutyl, 1,2-dimethylbutyl, 1,3-dimethylbutyl, 2,2-dimethylbutyl, 2,3-dimethylbutyl, 3,3-dimethylbutyl, 1-ethylbutyl, 2-ethylbutyl, 1,1,2-trimethylpropyl, 1,2,2-trimethylpropyl, 1-ethyl-1-methylpropyl and 1-ethyl-2-methylpropyl;

C_2-C_{10}-alkenyl: unsaturated, straight-chain or branched hydrocarbon radicals having 2 to 10, especially 2 to 6 carbon atoms and a double bond in any position, for example ethenyl, 1-propenyl, 2-propenyl, 1-methylethenyl, 1-butenyl, 2-butenyl, 3-butenyl, 1-methyl-1-propenyl, 2-methyl-1-propenyl, 1-methyl-2-propenyl and 2-methyl-2-propenyl;

C_2-C_{10}-alkynyl: straight-chain or branched hydrocarbon radicals having 2 to 10, especially 2 to 4 carbon atoms and a triple bond in any position, for example ethynyl, 1-propynyl, 2-propynyl, 1-butynyl, 2-butynyl, 3-butynyl and 1-methyl-2-propynyl;

C_3-C_{10}-cycloalkyl: mono- or bicyclic cycloalkyl groups having 3 to 10 carbon atoms; monocyclic groups preferably have 3 to 8, especially 3 to 6 ring members, bicyclic groups preferably have 8 to 10 ring members.

A 5- or 6-membered saturated or partially unsaturated heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, preferably one oxygen atom, for example saturated heterocycles such as 1-pyrimidinyl, 2-pyrimidinyl, morpholin-4-yl, thiomorpholin-4-yl; or partially unsaturated heterocycles, containing one C=C or one N=C double bond, such as 3,6-dihydro-2H-pyridin-1-yl, or 2,5-dihydropyrrol-1-yl;

A 5-membered aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom: 5-membered heteroaryl groups which, in addition to carbon atoms, may contain one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom as ring members, for example 2-furyl, 3-furyl, 2-thienyl, 3-thienyl, 2-pyrrolyl, 3-pyrrolyl, 3-isoxazolyl, 4-isoxazolyl, 5-isoxazolyl, 3-isothiazolyl, 4-isothiazolyl, 5-isothiazolyl, 3-pyrazolyl, 4-pyrazolyl, 5-pyrazolyl, 2-oxazolyl, 4-oxazolyl, 5-oxazolyl, 2-thiazolyl, 4-thiazolyl, 5-thiazolyl, 2-imidazolyl, 4-imidazo-

lyl, 1,2,4-oxadiazol-3-yl, 1,2,4-oxadiazol-5-yl, 1,2,4-thiadiazol-3-yl, 1,2,4-thiadiazol-5-yl, 1,2,4-triazol-3-yl, 1,3,4-oxadiazol-2-yl, 1,3,4-thiadiazol-2-yl and 1,3,4-triazol-2-yl;

6-membered aromatic heterocycle, containing one to four nitrogen atoms: 6-membered heteroaryl groups which, in addition to carbon atoms, may contain one to three or one to four nitrogen atoms as ring members, for example 2-pyridinyl, 3-pyridinyl, 4-pyridinyl, 3-pyridazinyl, 4-pyridazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 2-pyrazinyl, 1,3,5-triazin-2-yl and 1,2,4-triazin-3-yl, preferably pyridyl, pyrimidyl, pyrazolyl or thienyl.

With respect to their intended use, preference is given to triazolopyrimidines of the formula I having the following substituents, where the preference is valid in each case on its own or in combination:

A preferred cycloalkyl moiety is cyclopentyl being optionally substituted by one or more nitro, cyano, C_1-C_6-alkyl, C_1-C_6-alkoxy groups.

Preference is given to compounds of formula I in which any alkyl or haloalkyl part of the groups R^1 or R^2, which may be straight chained or branched, contains up to 10 carbon atoms, preferably 1 to 9 carbon atoms, more preferably 2 to 6 carbon atoms, any alkenyl or alkynyl part of the substituents R^1 or R^2 contains up to 10 carbon atoms, preferably 2 to 9 carbon atoms, more preferably 3 to 6 carbon atoms, any cycloalkyl part of the substituents R^1 or R^2 contains from 3 to 10 carbon atoms, preferably from 3 to 8 carbon atoms, more preferably from 3 to 6 carbon atoms, and any bicycloalkyl part of the substituents R^1 or R^2 contains from 5 to 9 carbon atoms, preferably from 7 to 9 carbon atoms. Any alkyl, alkenyl or alkynyl group may be linear or branched.

Compounds of formula I are preferred in which R^1 represents a straight-chained or branched C_1-C_{10}-alkyl, in particular a branched C_3-C_{10}-alkyl group, a C_3-C_8-cycloalkyl, a C_5-C_9-bicycloalkyl, a C_3-C_8-cycloalkyl-C_1-C_6-alkyl, C_1-C_{10}-alkoxy-C_1-C_6-alkyl, a C_1-C_{10}-haloalkyl or a phenyl group being optionally substituted by one to three halogen atoms or C_1-C_{10}-alkyl or C_1-C_{10}-alkoxy groups.

Moreover, particular preference is given to compounds I in which R^1 and R^2 together with the interjacent nitrogen atom form an optionally substituted heterocyclic ring, preferably an optionally substituted C_3-C_7-heterocyclic ring, in particular a 5- or 6-membered saturated heterocycle, such as pyrrolidine, piperidine, morpholine, or tetrahydropyridine, or a 5- or

6-membered partially unsaturated heterocycle, such as 3,6-dihydro-2H-pyridin-1-yl, or 2,5-dihydropyrrol-1-yl, wherein the saturated or unsaturated heterocycle is optionally substituted by one or more C_1-C_4-alkyl or C_1-C_2-haloalkyl groups, preferably by one or two methyl groups. Particular preference is given to compounds I, in which R^1 and R^2 together form a 4-methyl-piperidin-1-yl group.

Furthermore, particular preference is given to compounds I in which R^2 represents hydrogen, C_1-C_{10}-alkyl or C_1-C_{10}-haloalkyl, in particular hydrogen.

Moreover, particular preference is given to compounds I in which R^2 is methyl or ethyl.

If R^1 denotes C_1-C_{10}-haloalkyl, preferably polyfluorinated alkyl, in particular 2,2,2-trifluoroethyl, 2-(1,1,1-trifluoropropyl) or 2-(1,1,1-trifluorobutyl), R^2 preferably represents hydrogen.

Particular preference is given to compounds I in which Hal is fluoro, chloro, or bromo, particularly fluoro.

Furthermore, preference is given to compounds I in which L^1 is hydrogen, or fluoro, particularly hydrogen.

Besides, particular preference is given to compounds I in which L^2 is hydrogen, fluoro, trifluoromethyl, amino, dimethylamino, or N-acetylamino, particularly fluoro.

Futhermore, preference is given to compounds I in which L^2 is NHR^b or $N(R^b)_2$, wherein R^b is methyl or $C(=O)$-C_1-C_4-alkyl.

Likewise, particular preference is given to compounds I in which L^3 is hydrogen, fluoro, methyl, particularly hydrogen.

A particularly preferred embodiment of the present invention are compounds of formula I, in which the 6-(2-halophenyl) group represents one of the following moieties:
2,3,5-trifluorophenyl, 2,4-difluorophenyl, 2-F,4-CF_3-phenyl, 2-F,5-CH_3-phenyl, 2-Cl,4-F-phenyl, 2-F,4-Cl-phenyl, 2-F,4-Br-phenyl, 2-Cl,4-Br-phenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,4,5-trifluorophenyl, 2,3,4-trifluorophenyl, 2-F,4-NH_2-phenyl, 2-F,4-$N(CH_3)_2$-phenyl, 2-F,4-$NHC(O)CH_3$-phenyl, 2-Br,3,5-difluorophenyl, 2-F,4-NO_2-phenyl, and 2-Cl,4-NO_2-phenyl.

Moreover, preference is given to compounds I in which X is halogen, cyano or methyl, preferably halogen, such as chloro or bromo, particularly chloro.

The particularly preferred embodiments of the intermediates with respect to the variables correspond to those of the radicals X and L^1 to L^3 of formula I.

Included in the scope of the present invention are (R) and (S) isomers of compounds of general formula I having a chiral center and the racemates thereof, and salts, N-oxides and acid addition compounds.

With respect to their use, particular preference is given to the compounds I compiled in the tables below. The groups mentioned in the tables for a substituent are furthermore for their part, independently of the combination in which they are mentioned, a particularly preferred embodiment of the respective substituents.

Table 1
Compounds of formula I, in which X is chloro, Hal, L^1 and L^3 are fluoro, L^2 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 2
Compounds of formula I, in which X is cyano, Hal, L^1 and L^3 are fluoro, L^2 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 3
Compounds of formula I, in which X is methyl, Hal, L^1 and L^3 are fluoro, L^2 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 4
Compounds of formula I, in which X is methoxy, Hal, L^1 and L^3 are fluoro, L^2 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 5
Compounds of formula I, in which X is chloro, Hal and L^2 are fluoro, L^1 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 6
Compounds of formula I, in which X is cyano, Hal and L^2 are fluoro, L^1 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 7
Compounds of formula I, in which X is methyl, Hal and L^2 are fluoro, L^1 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 8
Compounds of formula I, in which X is methoxy, Hal and L^2 are fluoro, L^1 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 9
Compounds of formula I, in which X is chloro, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is trifluoromethyl and R^1 and R^2 correspond to one row in Table A

Table 10
Compounds of formula I, in which X is cyano, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is trifluoromethyl and R^1 and R^2 correspond to one row in Table A

Table 11
Compounds of formula I, in which X is methyl, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is trifluoromethyl and R^1 and R^2 correspond to one row in Table A

Table 12
Compounds of formula I, in which X is methoxy, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is trifluoromethyl and R^1 and R^2 correspond to one row in Table A

Table 13
Compounds of formula I, in which X is chloro, Hal is fluoro, L^1 and L^2 are hydrogen, L^3 is methyl and R^1 and R^2 correspond to one row in Table A

Table 14
Compounds of formula I, in which X is cyano, Hal is fluoro, L^1 and L^2 are hydrogen, L^3 is methyl and R^1 and R^2 correspond to one row in Table A

Table 15
Compounds of formula I, in which X is methyl, Hal is fluoro, L^1 and L^2 are hydrogen, L^3 is methyl and R^1 and R^2 correspond to one row in Table A

Table 16
Compounds of formula I, in which X is methoxy, Hal is fluoro, L^1 and L^2 are hydrogen, L^3 is methyl and R^1 and R^2 correspond to one row in Table A

Table 17
Compounds of formula I, in which X and Hal are chloro, L^1 and L^3 are hydrogen, L^2 is fluoro and R^1 and R^2 correspond to one row in Table A

Table 18
Compounds of formula I, in which X is cyano, Hal is chloro, L^1 and L^3 are hydrogen, L^2 is fluoro and R^1 and R^2 correspond to one row in Table A

Table 19
Compounds of formula I, in which X is methyl, Hal is chloro, L^1 and L^3 are hydrogen, L^2 is fluoro and R^1 and R^2 correspond to one row in Table A

Table 20
Compounds of formula I, in which X is methoxy, Hal is chloro, L^1 and L^3 are hydrogen, L^2 is fluoro and R^1 and R^2 correspond to one row in Table A

Table 21
Compounds of formula I, in which X is chloro, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is chloro and R^1 and R^2 correspond to one row in Table A

Table 22
Compounds of formula I, in which X is cyano, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is chloro and R^1 and R^2 correspond to one row in Table A

Table 23
Compounds of formula I, in which X is methyl, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is chloro and R^1 and R^2 correspond to one row in Table A

Table 24
Compounds of formula I, in which X is methoxy, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is chloro and R^1 and R^2 correspond to one row in Table A

Table 25
Compounds of formula I, in which X is chloro, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is bromo and R^1 and R^2 correspond to one row in Table A

Table 26
Compounds of formula I, in which X is cyano, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is bromo and R^1 and R^2 correspond to one row in Table A

Table 27
Compounds of formula I, in which X is methyl, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is bromo and R^1 and R^2 correspond to one row in Table A

Table 28
Compounds of formula I, in which X is methoxy, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is bromo and R^1 and R^2 correspond to one row in Table A

Table 29
Compounds of formula I, in which X and Hal are chloro, L^1 and L^3 are hydrogen, L^2 is bromo and R^1 and R^2 correspond to one row in Table A

Table 30
Compounds of formula I, in which X is cyano, Hal is chloro, L^1 and L^3 are hydrogen, L^2 is bromo and R^1 and R^2 correspond to one row in Table A

Table 31
Compounds of formula I, in which X is methyl, Hal is chloro, L^1 and L^3 are hydrogen, L^2 is bromo and R^1 and R^2 correspond to one row in Table A

Table 32
Compounds of formula I, in which X is methoxy, Hal is chloro, L^1 and L^3 are hydrogen, L^2 is bromo and R^1 and R^2 correspond to one row in Table A

Table 33
Compounds of formula I, in which X, Hal and L^2 are chloro, L^1 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 34
Compounds of formula I, in which X is cyano, Hal and L^2 are chloro, L^1 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 35
Compounds of formula I, in which X is methyl, Hal and L^2 are chloro, L^1 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 36
Compounds of formula I, in which X is methoxy, Hal and L^2 are chloro, L^1 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 37
Compounds of formula I, in which X is chloro, Hal and L^1 are fluoro, L^2 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 38
Compounds of formula I, in which X is cyano, Hal and L^1 are fluoro, L^2 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 39
Compounds of formula I, in which X is methyl, Hal and L^1 are fluoro, L^2 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 40
Compounds of formula I, in which X is methoxy, Hal and L^1 are fluoro, L^2 and L^3 are hydrogen and R^1 and R^2 correspond to one row in Table A

Table 41
Compounds of formula I, in which X is chloro, Hal and L^3 are fluoro, L^1 and L^2 hydrogen and R^1 and R^2 correspond to one row in Table A

Table 42
Compounds of formula I, in which X is cyano, Hal and L^3 are fluoro, L^1 and L^2 hydrogen and R^1 and R^2 correspond to one row in Table A

Table 43
Compounds of formula I, in which X is methyl, Hal and L^3 are fluoro, L^1 and L^2 hydrogen and R^1 and R^2 correspond to one row in Table A

Table 44
Compounds of formula I, in which X is methoxy, Hal and L^3 are fluoro, L^1 and L^2 hydrogen and R^1 and R^2 correspond to one row in Table A

Table 45
Compounds of formula I, in which X is chloro, Hal, L^2 and L^3 are fluoro, L^1 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 46
Compounds of formula I, in which X is cyano, Hal, L^2 and L^3 are fluoro, L^1 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 47
Compounds of formula I, in which X is methyl, Hal, L^2 and L^3 are fluoro, L^1 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 48
Compounds of formula I, in which X is methoxy, Hal, L^2 and L^3 are fluoro, L^1 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 49
Compounds of formula I, in which X is chloro, Hal, L^1 and L^2 are fluoro, L^3 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 50
Compounds of formula I, in which X is cyano, Hal, L^1 and L^2 are fluoro, L^3 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 51
Compounds of formula I, in which X is methyl, Hal, L^1 and L^2 are fluoro, L^3 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 52
Compounds of formula I, in which X is methoxy, Hal, L^1 and L^2 are fluoro, L^3 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 53
Compounds of formula I, in which X is chloro, Hal is bromo, L^1 and L^3 are fluoro, L^2 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 54
Compounds of formula I, in which X is cyano, Hal is bromo, L^1 and L^3 are fluoro, L^2 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 55
Compounds of formula I, in which X is methyl, Hal is bromo, L^1 and L^3 are fluoro, L^2 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 56
Compounds of formula I, in which X is methoxy, Hal is bromo, L^1 and L^3 are fluoro, L^2 is hydrogen and R^1 and R^2 correspond to one row in Table A

Table 57
Compounds of formula I, in which X is chloro, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is amino and R^1 and R^2 correspond to one row in Table A

Table 58
Compounds of formula I, in which X is cyano, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is amino and R^1 and R^2 correspond to one row in Table A

Table 59
Compounds of formula I, in which X is methyl, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is amino and R^1 and R^2 correspond to one row in Table A

Table 60
Compounds of formula I, in which X is methoxy, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is amino and R^1 and R^2 correspond to one row in Table A

Table 61
Compounds of formula I, in which X is chloro, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is methylamino and R^1 and R^2 correspond to one row in Table A

Table 62
Compounds of formula I, in which X is cyano, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is methylamino and R^1 and R^2 correspond to one row in Table A

Table 63
Compounds of formula I, in which X is methyl, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is methylamino and R^1 and R^2 correspond to one row in Table A

Table 64
Compounds of formula I, in which X is methoxy, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is methylamino and R^1 and R^2 correspond to one row in Table A

Table 65
Compounds of formula I, in which X is chloro, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is dimethylamino and R^1 and R^2 correspond to one row in Table A

Table 66
Compounds of formula I, in which X is cyano, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is dimethylamino and R^1 and R^2 correspond to one row in Table A

Table 67
Compounds of formula I, in which X is methyl, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is dimethylamino and R^1 and R^2 correspond to one row in Table A

Table 68
Compounds of formula I, in which X is methoxy, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is dimethylamino and R^1 and R^2 correspond to one row in Table A

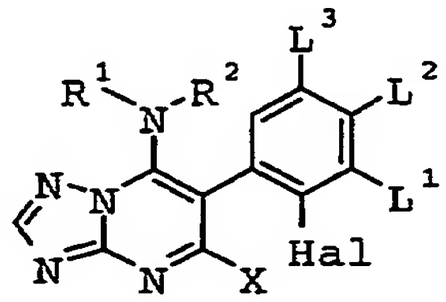
Table 69
Compounds of formula I, in which X is chloro, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is N-acetylamino and R^1 and R^2 correspond to one row in Table A

Table 70
Compounds of formula I, in which X is cyano, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is N-acetylamino and R^1 and R^2 correspond to one row in Table A

Table 71
Compounds of formula I, in which X is methyl, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is N-acetylamino and R^1 and R^2 correspond to one row in Table A

Table 72
Compounds of formula I, in which X is methoxy, Hal is fluoro, L^1 and L^3 are hydrogen, L^2 is N-acetylamino and R^1 and R^2 correspond to one row in Table A

Table A



I

No.	R^1	R^2
A-1	CH_2CH_3	H
A-2	CH_2CH_3	CH_3
A-3	CH_2CH_3	CH_2CH_3
A-4	CH_2CF_3	H
A-5	CH_2CF_3	CH_3
A-6	CH_2CF_3	CH_2CH_3
A-7	CH_2CCl_3	H
A-8	CH_2CCl_3	CH_3
A-9	CH_2CCl_3	CH_2CH_3
A-10	$CH_2CH_2CH_3$	H
A-11	$CH_2CH_2CH_3$	CH_3
A-12	$CH_2CH_2CH_3$	CH_2CH_3
A-13	$CH_2CH_2CH_3$	$CH_2CH_2CH_3$
A-14	$CH(CH_3)_2$	H
A-15	$CH(CH_3)_2$	CH_3
A-16	$CH(CH_3)_2$	CH_2CH_3

No.	R^1	R^2
A-17	(±) $CH(CH_3)-CH_2CH_3$	H
A-18	(±) $CH(CH_3)-CH_2CH_3$	CH_3
A-19	(±) $CH(CH_3)-CH_2CH_3$	CH_2CH_3
A-20	(S) $CH(CH_3)-CH_2CH_3$	H
A-21	(S) $CH(CH_3)-CH_2CH_3$	CH_3
A-22	(S) $CH(CH_3)-CH_2CH_3$	CH_2CH_3
A-23	(R) $CH(CH_3)-CH_2CH_3$	H
A-24	(R) $CH(CH_3)-CH_2CH_3$	CH_3
A-25	(R) $CH(CH_3)-CH_2CH_3$	CH_2CH_3
A-26	(±) $CH(CH_3)-CH(CH_3)_2$	H
A-27	(±) $CH(CH_3)-CH(CH_3)_2$	CH_3
A-28	(±) $CH(CH_3)-CH(CH_3)_2$	CH_2CH_3
A-29	(S) $CH(CH_3)-CH(CH_3)_2$	H
A-30	(S) $CH(CH_3)-CH(CH_3)_2$	CH_3
A-31	(S) $CH(CH_3)-CH(CH_3)_2$	CH_2CH_3
A-32	(R) $CH(CH_3)-CH(CH_3)_2$	H
A-33	(R) $CH(CH_3)-CH(CH_3)_2$	CH_3
A-34	(R) $CH(CH_3)-CH(CH_3)_2$	CH_2CH_3
A-35	(±) $CH(CH_3)-C(CH_3)_3$	H
A-36	(±) $CH(CH_3)-C(CH_3)_3$	CH_3
A-37	(±) $CH(CH_3)-C(CH_3)_3$	CH_2CH_3
A-38	(S) $CH(CH_3)-C(CH_3)_3$	H
A-39	(S) $CH(CH_3)-C(CH_3)_3$	CH_3
A-40	(S) $CH(CH_3)-C(CH_3)_3$	CH_2CH_3
A-41	(R) $CH(CH_3)-C(CH_3)_3$	H
A-42	(R) $CH(CH_3)-C(CH_3)_3$	CH_3
A-43	(R) $CH(CH_3)-C(CH_3)_3$	CH_2CH_3
A-44	(±) $CH(CH_3)-CF_3$	H
A-45	(±) $CH(CH_3)-CF_3$	CH_3
A-46	(±) $CH(CH_3)-CF_3$	CH_2CH_3
A-47	(S) $CH(CH_3)-CF_3$	H
A-48	(S) $CH(CH_3)-CF_3$	CH_3
A-49	(S) $CH(CH_3)-CF_3$	CH_2CH_3
A-50	(R) $CH(CH_3)-CF_3$	H
A-51	(R) $CH(CH_3)-CF_3$	CH_3
A-52	(R) $CH(CH_3)-CF_3$	CH_2CH_3
A-53	(±) $CH(CH_3)-CCl_3$	H
A-54	(±) $CH(CH_3)-CCl_3$	CH_3
A-55	(±) $CH(CH_3)-CCl_3$	CH_2CH_3

No.	R^1	R^2
A-56	(S) $CH(CH_3)-CCl_3$	H
A-57	(S) $CH(CH_3)-CCl_3$	CH_3
A-58	(S) $CH(CH_3)-CCl_3$	CH_2CH_3
A-59	(R) $CH(CH_3)-CCl_3$	H
A-60	(R) $CH(CH_3)-CCl_3$	CH_3
A-61	(R) $CH(CH_3)-CCl_3$	CH_2CH_3
A-62	$CH_2CF_2CF_3$	H
A-63	$CH_2CF_2CF_3$	CH_3
A-64	$CH_2CF_2CF_3$	CH_2CH_3
A-65	$CH_2(CF_2)_2CF_3$	H
A-66	$CH_2(CF_2)_2CF_3$	CH_3
A-67	$CH_2(CF_2)_2CF_3$	CH_2CH_3
A-68	$CH_2C(CH_3)=CH_2$	H
A-69	$CH_2C(CH_3)=CH_2$	CH_3
A-70	$CH_2C(CH_3)=CH_2$	CH_2CH_3
A-71	cyclopentyl	H
A-72	cyclopentyl	CH_3
A-73	cyclopentyl	CH_2CH_3
A-74	Cyclohexyl	H
A-75	Cyclohexyl	CH_3
A-76	Cyclohexyl	CH_2CH_3
A-77	$-(CH_2)_2CH=CHCH_2-$	
A-78	$-(CH_2)_2C(CH_3)=CHCH_2-$	
A-79	$-(CH_2)_2CH(CH_3)(CH_2)_2-$	
A-80	$-(CH_2)_2CHF(CH_2)_2-$	
A-81	$-(CH_2)_3CHFCH_2-$	
A-82	$-(CH_2)_2CH(CF_3)(CH_2)_2-$	
A-83	$-(CH_2)_2O(CH_2)_2-$	
A-84	$-(CH_2)_2S(CH_2)_2-$	
A-85	$-(CH_2)_5-$	
A-86	$-(CH_2)_4-$	
A-87	$-CH_2CH=CHCH_2-$	
A-88	$-CH(CH_3)(CH_2)_3-$	
A-89	$-CH_2CH(CH_3)(CH_2)_2-$	

The compounds I are suitable as fungicides. They are distinguished by an outstanding activity against a broad spectrum of phytopathogenic fungi, in particular from the classes of the *Ascomycetes*, *Deuteromycetes*, *Oomycetes* and *Basidiomycetes*. Some of them

act systemically, and they can be employed in crop protection as foliar- and soil-acting fungicides.

They are especially important for controlling a large number of fungi on a variety of crop plants such as wheat, rye, barley, oats, rice, maize, grass, bananas, cotton, soya, coffee, sugar cane, grapevines, fruit species, ornamentals and vegetables such as cucumbers, beans, tomatoes, potatoes and cucurbits, and on the seeds of these plants.

Specifically, they are suitable for controlling the following plant diseases:

- *Alternaria species* on vegetables and fruit,
- *Bipolaris* and *Drechslera* species on cereals, rice and turf,
- *Blumeria graminis* (powdery mildew) on cereals,
- *Botrytis cinerea* (gray mold) on strawberries, vegetables, ornamentals and grapevines,
- *Erysiphe cichoracearum* and *Sphaerotheca fuliginea* on cucurbits,
- *Fusarium* and *Verticillium* species on various plants,
- *Mycosphaerella* species on cereals, bananas and peanuts,
- *Phytophthora infestans* on potatoes and tomatoes,
- *Plasmopara viticola* on grapevines,
- *Podosphaera leucotricha* on apples,
- *Pseudocercosporella herpotrichoides* on wheat and barley,
- *Pseudoperonospora* species on hops and cucumbers,
- *Puccinia* species on cereals,
- *Pyricularia oryzae* on rice,
- *Rhizoctonia species* on cotton, rice and turf,
- *Septoria tritici* and *Stagonospora nodorum* on wheat,
- *Uncinula necator* on grapevines,
- *Ustilago* species on cereals and sugar cane, and
- *Venturia* species (scab) on apples and pears.

Moreover, the compounds I are suitable for controlling harmful fungi such as *Paecilomyces variotii* in the protection of materials (e.g. wood, paper, paint dispersions, fibers and fabrics) and in the protection of stored products.

The compounds I are applied by treating the fungi, or the plants, seeds, materials or the soil to be protected against fungal infection, with a fungicidally active amount of the active ingredients. Application can be effected both before and after infection of the materials, plants or seeds by the fungi.

In general, the fungicidal compositions comprise between 0.1 and 95, preferably 0.5 and 90 % by weight of active ingredient.

When used in crop protection, the rates of application are from 0.01 to 2.0 kg of active ingredient per ha, depending on the nature of the effect desired.

In the treatment of seed, amounts of active ingredient of from 0.001 to 0.1 g, preferably 0.01 to 0.05 g, are generally required per kilogram of seed.

When used in the protection of materials or stored products, the rate of application of active ingredient depends on the nature of the field of application and on the effect desired. Rates of application conventionally used in the protection of materials are, for example, from 0.001 g to 2 kg, preferably 0.005 g to 1 kg, of active ingredient per cubic meter of material treated.

The compounds I can be converted into the customary formulations, eg. solutions, emulsions, suspensions, dusts, powders, pastes and granules. The use form depends on the particular purpose; it is intended to ensure in each case a fine and uniform distribution of the compound according to the invention.

The formulations are prepared in a known manner, eg. by extending the active ingredient with solvents and/or carriers, if desired using emulsifiers and dispersants. Solvents/auxiliaries which are suitable are essentially:

water, aromatic solvents (for example Solvesso products, xylene), paraffins (for example mineral fractions), alcohols (for example methanol, butanol, pentanol, benzyl alcohol), ketones (for example cyclohexanone, gamma-butyrolactone), pyrrolidones (NMP, NOP), acetates (glycol diacetate), glycols, fatty acid dimethylamides, fatty acids and fatty acid esters. In principle, solvent mixtures may also be used.

carriers such as ground natural minerals (eg. kaolins, clays, talc, chalk) and ground synthetic minerals (eg. highly dispersе silica, silicates); emulsifiers such as nonionic and anionic emulsifiers (eg. polyoxyethylene fatty alcohol ethers, alkylsulfonates and arylsulfonates) and dispersants such as lignin-sulfite waste liquors and methylcellulose.

Suitable surfactants are alkali metal, alkaline earth metal and ammonium salts of lignosulfonic acid, naphthalenesulfonic acid, phenolsulfonic acid, dibutylnaphthalenesulfonic acid, alkylaryl-

sulfonates, alkyl sulfates, alkylsulfonates, fatty alcohol sulfates, fatty acids and sulfated fatty alcohol glycol ethers, furthermore condensates of sulfonated naphthalene and naphthalene derivatives with formaldehyde, condensates of naphthalene or of naphthalenesulfonic acid with phenol and formaldehyde, polyoxyethylene octylphenyl ether, ethoxylated isooctylphenol, octylphenol, nonylphenol, alkylphenyl polyglycol ethers, tributylphenyl polyglycol ether, tristearylphenyl polyglycol ether, alkylaryl polyether alcohols, alcohol and fatty alcohol/ethylene oxide condensates, ethoxylated castor oil, polyoxyethylene alkyl ethers, ethoxylated polyoxypropylene, lauryl alcohol polyglycol ether acetal, sorbitol esters, lignin-sulfite waste liquors and methylcellulose.

Substances which are suitable for the preparation of directly sprayable solutions, emulsions, pastes or oil dispersions are mineral oil fractions of medium to high boiling point, such as kerosene or diesel oil, furthermore coal tar oils and oils of vegetable or animal origin, aliphatic, cyclic and aromatic hydrocarbons, for example toluene, xylene, paraffin, tetrahydronaphthalene, alkylated naphthalenes or their derivatives, methanol, ethanol, propanol, butanol, cyclohexanol, cyclohexanone, isophorone, strongly polar solvents, for example dimethyl sulfoxide, N-methylpyrrolidone and water.

Powders, materials for spreading and dusts can be prepared by mixing or concomitantly grinding the active substances with a solid carrier.

Granules, for example coated granules, impregnated granules and homogeneous granules, can be prepared by binding the active ingredients to solid carriers. Examples of solid carriers are mineral earths such as silica gels, silicates, talc, kaolin, attaclay, limestone, lime, chalk, bole, loess, clay, dolomite, diatomaceous earth, calcium sulfate, magnesium sulfate, magnesium oxide, ground synthetic materials, fertilizers, such as, for example, ammonium sulfate, ammonium phosphate, ammonium nitrate, ureas, and products of vegetable origin, such as cereal meal, tree bark meal, wood meal and nutshell meal, cellulose powders and other solid carriers.

In general, the formulations comprise from 0.01 to 95% by weight, preferably from 0.1 to 90% by weight, of the active ingredient. The active ingredients are employed in a purity of from 90% to 100%, preferably 95% to 100% (according to NMR spectrum).

The following are examples of formulations: 1. Products for dilution with water

A Soluble concentrates (SL)

10 parts by weight of a compound according to the invention are dissolved in water or in a water-soluble solvent. As an alternative, wetters or other auxiliaries are added. The active ingredient dissolves upon dilution with water.

B Dispersible concentrates (DC)

20 parts by weight of a compound according to the invention are dissolved in cyclohexanone with addition of a dispersant, for example polyvinylpyrrolidone. Dilution with water gives a dispersion.

C Emulsifiable concentrates (EC)

15 parts by weight of a compound according to the invention are dissolved in xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5% strength). Dilution with water gives an emulsion.

D Emulsions (EW, EO)

40 parts by weight of a compound according to the invention are dissolved in xylene with addition of calcium dodecylbenzenesulfonate and castor oil ethoxylate (in each case 5% strength). This mixture is introduced into water by means of an emulsifier (Ultraturrax) and made into a homogeneous emulsion. Dilution with water gives an emulsion.

E Suspensions (SC, OD)

In an agitated ball mill, 20 parts by weight of a compound according to the invention are comminuted with addition of dispersant, wetters and water or an organic solvent to give a fine active ingredient suspension. Dilution with water gives a stable suspension of the active ingredient.

F Water-dispersible granules and water-soluble granules (WG, SG)

50 parts by weight of a compound according to the invention are ground finely with addition of dispersants and wetters and made into water-dispersible or water-soluble granules by means of

technical appliances (for example extrusion, spray tower, fluidized bed). Dilution with water gives a stable dispersion or solution of the active ingredient.

G Water-dispersible powders and water-soluble powders (WP, SP)

75 parts by weight of a compound according to the invention are ground in a rotor-stator mill with addition of dispersant, wetters and silica gel. Dilution with water gives a stable dispersion or solution with the active ingredient.

2. Products to be applied undiluted

H Dustable powders (DP)

5 parts by weight of a compound according to the invention are ground finely and mixed intimately with 95% of finely divided kaolin. This gives a dustable product.

I Granules (GR, FG, GG, MG)

0.5 part by weight of a compound according to the invention is ground finely and associated with 95.5% carriers. Current methods are extrusion, spray-drying or the fluidized bed. This gives granules to be applied undiluted.

J ULV solutions (UL)

10 parts by weight of a compound according to the invention are dissolved in an organic solvent, for example xylene. This gives a product to be applied undiluted.

The active ingredients can be used as such, in the form of their formulations or the use forms prepared therefrom, eg. in the form of directly sprayable solutions, powders, suspensions or dispersions, emulsions, oil dispersions, pastes, dustable products, materials for spreading, or granules, by means of spraying, atomizing, dusting, spreading or pouring. The use forms depend entirely on the intended purposes; it is intended to ensure in each case the finest possible distribution of the active ingredients according to the invention.

Aqueous use forms can be prepared from emulsion concentrates, pastes or wettable powders (sprayable powders, oil dispersions) by adding water. To prepare emulsions, pastes or oil dispersions, the substances, as such or dissolved in an oil or solvent, can be homogenized in water by means of a wetter, tackifier, dispersant

or emulsifier. Alternatively, it is possible to prepare concentrates composed of active substance, wetter, tackifier, dispersant or emulsifier and, if appropriate, solvent or oil, and such concentrates are suitable for dilution with water.

The active ingredient concentrations in the ready-to-use products can be varied within relatively wide ranges. In general, they are from 0.0001 to 10%, preferably from 0.01 to 1%.

The active ingredients may also be used successfully in the ultra-low-volume process (ULV), it being possible to apply formulations comprising over 95% by weight of active ingredient, or even to apply the active ingredient without additives.

Various types of oils, wetters, adjuvants, herbicides, fungicides, other pesticides, or bactericides may be added to the active ingredients, if appropriate just immediately prior to use (tank mix). These agents can be admixed with the agents according to the invention in a weight ratio of 1:10 to 10:1.

In the use form as fungicides, the compositions according to the invention can also be present together with other active ingredients, for example with herbicides, insecticides, growth regulators, fungicides or else with fertilizers. Mixing the compounds I or the compositions comprising them in the use form as fungicides with other fungicides frequently results in a broader fungicidal spectrum of action.

The following list of fungicides together with which the compounds according to the invention can be used is intended to illustrate the possible combinations, but not to impose any limitation:

- acylalanines such as benalaxyl, metalaxyl, ofurace, oxadixyl,
- amine derivatives such as aldimorph, dodine, dodemorph, fenpropimorph, fenpropidin, guazatine, iminoctadine, spiroxamin, tridemorph
- anilinopyrimidines such as pyrimethanil, mepanipyrim or cyrodinyl,
- antibiotics such as cycloheximid, griseofulvin, kasugamycin, natamycin, polyoxin or streptomycin,
- azoles such as bitertanol, bromoconazole, cyproconazole, difenoconazole, dinitroconazole, epoxiconazole, fenbuconazole, fluquiconazole, flusilazole, hexaconazole, imazalil, metconazole, myclobutanil, penconazole, propiconazole, prochloraz, prothioconazole, tebuconazole, triadimefon, triadimenol, triflumizol, triticonazole,

- dicarboximides such as iprodion, myclozolin, procymidon, vinclozolin,
- dithiocarbamates such as ferbam, nabam, maneb, mancozeb, metam, metiram, propineb, polycarbamate, thiram, ziram, zineb,
- heterocyclic compounds such as anilazine, benomyl, boscalid, carbendazim, carboxin, oxycarboxin, cyazofamid, dazomet, dithianon, famoxadon, fenamidon, fenarimol, fuberidazole, flutolanil, furametpyr, isoprothiolane, mepronil, nuarimol, probenazole, proquinazid, pyrifenox, pyroquilon, quinoxyfen, silthiofam, thiabendazole, thifluzamid, thiophanate-methyl, tiadinil, tricyclazole, triforine,
- copper fungicides such as Bordeaux mixture, copper acetate, copper oxychloride, basic copper sulfate,
- nitrophenyl derivatives such as binapacryl, dinocap, dinobuton, nitrophthalisopropyl
- phenylpyrroles such as fenpiclonil or fludioxonil,
- sulfur
- other fungicides such as acibenzolar-S-methyl, benthiavalicarb, carpropamid, chlorothalonil, cyflufenamid, cymoxanil, dazomet, diclomezin, diclocymet, diethofencarb, edifenphos, ethaboxam, fenhexamid, fentin-acetate, fenoxanil, ferimzone, fluazinam, fosetyl, fosetyl-aluminum, iprovalicarb, hexachlorobenzene, metrafenon, pencycuron, propamocarb, phthalide, toloclofos-methyl, quintozene, zoxamid
- strobilurins such as azoxystrobin, dimoxystrobin, fluoxastrobin, kresoxim-methyl, metominostrobin, orysastrobin, picoxystrobin, pyraclostrobin or trifloxystrobin,
- sulfenic acid derivatives such as captafol, captan, dichlofluanid, folpet, tolylfluanid
- cinnemamides and analogs such as dimethomorph, flumetover or flumorph.

Synthesis Examples

With due modification of the starting compounds, the protocols shown in the synthesis examples below were used for obtaining further compounds I. The resulting compounds I, together with physical data, are listed in the Table I which follows.

Example 1 Preparation of diethyl (2,3,5-trifluorophenyl)-malonate

Ethyl 2-(2,3,5-trifluorophenyl)-acetate (29 g) was slowly added to a mixture of diethylcarbonate (63 g) and sodium hydride (9.5 g) in toluene (350 ml). After being refluxed for 3 hours, the reaction mixture was cooled, treated with ice-water and washed with water. The organic layer was separated, dried and filte-

red. The filtrate was concentrated in vacuo to yield 32 g of the title compound.

Example 2 Preparation of 5,7-dihydroxy-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo-[1,5-a]pyrimidine

A mixture of 3-amino-1,2,4-triazole (14 g), diethyl (2,3,5-trifluorophenyl)-malonate (0.17 mol, obtained from Ex. 1) and tributylamine (50 ml) was heated at 180°C for six hours. The reaction mixture was cooled to about 70°C. After addition of aqueous sodium hydroxide (21 g/200 ml H_2O) the reaction mixture was stirred for 30 minutes. After separation of the organic phase the aqueous phase was extracted with diethyl ether. The aqueous phase was acidified with concentrated hydrochloric acid. The precipitate was collected by filtration and dried to yield 43 g of the title compound.

Example 3 Preparation of 5,7-dichloro-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo-[1,5-a]pyrimidine

A mixture of 5,7-dihydroxy-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo-[1,5-a]pyrimidine (30 g, obtained from Ex. 2) and phosphorous oxychloride (50 ml) is refluxed for 8 h. Phosphorous oxychloride partly distilled off. The residue was poured into a mixture of dichloromethane and water. The organic layer was separated, dried and filtered. The filtrate was concentrated in vacuo to yield 26 g of the title compound of mp. 191°C.

Example 4 Preparation of 5-chloro-6-(2,3,5-trifluorophenyl)-7-isopropylamino-[1,2,4]-triazolo[1,5-a]pyrimidine [I-2]

A mixture of isopropylamine (1.5 mmol), triethylamine (1.5 mmol) and dichloromethane (10 ml) was added to a mixture of 5,7-dichloro-6-(2,3,5-trifluorophenyl)-[1,2,4]-triazolo[1,5-a]pyrimidine (1.5 mmol, obtained from Ex. 3) and dichloromethane (20 ml) under stirring. The reaction mixture was stirred for 16 h. at 20 to 25°C and washed with 5% hydrochloric acid. The organic layer was separated, dried and filtered. The filtrate was evaporated and the residue was purified by column chromatography to yield 0.42 g of the title compound of mp. 151°C.

Example 5 Preparation of 5-cyano-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine

A mixture of 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo-[1,5-a]-pyrimidine (0.1 mol) and tetraethylammonium cyanide (0.25 mol) in 750 ml Dimethylformamide (DMF) was stirred for 16 hours at 20 to 25 °C. To this mixture was added water and methyl-tert. butylether (MTBE), the organic phase was separated, washed with water, dried and filtered. The filtrate was evaporated and the residue was purified by column chromatography to yield 5.91 g of the title compound of mp. 247°C.

Example 6 Preparation of 5-methoxy-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine

To a solution of 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methyl)-piperidin-1-yl)-[1,2,4]-triazolo-[1,5-a]-pyrimidine (65 mmol) in 400 ml dry methanol was added a solution of sodium methanolate (30%, 71.5 mmol) at 20 to 25°C. This mixture was stirred for 16 hours at 20 to 25°C. Methanol was evaporated and the residue was dissolved with dichloromethane. The organic phase was washed with water, dried and filtered. The filtrate was evaporated under reduced pressure and the residue was purified by column chromatography to yield 4.52 g of the title compound of mp. 186°C.

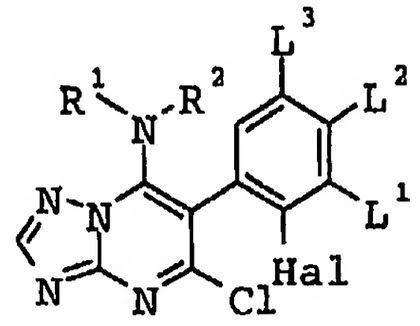
Example 7 Preparation of 5-methyl-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo[1,5-a]pyrimidine

A mixture of 20 ml diethyl malonate and NaH (0.27 g of a 50% dispersion in mineral oil, 5,65 mmol) in 50 ml acetonitrile was stirred at 20 to 25°C for about 2 hours. To this mixture 5-chloro-6-(2-chloro-4-fluorophenyl)-7-(4-methylpiperidin-1-yl)-[1,2,4]-triazolo-[1,5-a]-pyrimidine (4.71 mmol) was added. The reaction mixture was heated to 60°C and stirred for about 20 hours. Aqueous ammonium chloride (50 ml) was added and the mixture was acidified with diluted HCl. The reaction mixture was extracted with MTBE. The combined organic phases were dried and concentrated. The residue was purified by column chromatography.

The pure product obtained was diluted in concentrated HCl and heated to 80°C for about 24 hours. This reaction mixture was cooled and adjusted to pH of 5 by addition of aqueous NaOH, and sub-

sequently extracted with MTBE. The combined organic phases were dried, concentrated and purified by column chromatography to yield 0,78 g of the title compound of mp. 236°C.

Table I



I

No.	R^1	R^2	Hal	L^1	L^2	L^3	phys. data (m.p. [°C])
I-1	$CH_2C(CH_3)=CH_2$	CH_2CH_3	F	F	H	F	128
I-2	$CH(CH_3)_2$	H	F	F	H	F	151
I-3	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	F	H	F	171
I-4	cyclopentyl	H	F	F	H	F	111
I-5	CH_2CH_3	CH_2CH_3	F	F	H	F	165
I-6	$CH_2CH_2CH_3$	$CH_2CH_2CH_3$	F	F	H	F	107
I-7	$CH(CH_3)_2$	CH_3	F	F	H	F	172
I-8	(±) $CH(CH_3)-CH_2CH_3$	H	F	F	H	F	99
I-9	(S) $CH(CH_3)-CH_2CH_3$	H	F	F	H	F	94
I-10	(R) $CH(CH_3)-CH_2CH_3$	H	F	F	H	F	94
I-11	(±) $CH(CH_3)-CH(CH_3)_2$	H	F	F	H	F	113 / 114
I-12	(S) $CH(CH_3)-CH(CH_3)_2$	H	F	F	H	F	108 / 122
I-13	(R) $CH(CH_3)-CH(CH_3)_2$	H	F	F	H	F	108 / 122
I-14	(±) $CH(CH_3)-C(CH_3)_3$	H	F	F	H	F	138 / 129
I-15	(S) $CH(CH_3)-C(CH_3)_3$	H	F	F	H	F	129 / 121

No.	R^1	R^2	Hal	L^1	L^2	L^3	phys. data (m.p.[°C])
I-16	(R) $CH(CH_3)-C(CH_3)_3$	H	F	F	H	F	129 / 121
I-17	(±) $CH(CH_3)-CF_3$	H	F	F	H	F	164
I-18	(S) $CH(CH_3)-CF_3$	H	F	F	H	F	147
I-19	(R) $CH(CH_3)-CF_3$	H	F	F	H	F	147
I-20	CH_2CF_3	H	F	F	H	F	161
I-21	$CH_2C(CH_3)=CH_2$	CH_2CH_3	F	H	F	H	105
I-22	$CH(CH_3)_2$	H	F	H	F	H	159
I-23	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	F	H	208
I-24	(±) $CH(CH_3)-CH_2CH_3$	H	F	H	F	H	86
I-25	(±) $CH(CH_3)-C(CH_3)_3$	H	F	H	F	H	160
I-26	(±) $CH(CH_3)-CF_3$	H	F	H	F	H	151
I-27	(S) $CH(CH_3)-CF_3$	H	F	H	F	H	116
I-28	CH_2CF_3	H	F	H	F	H	181
I-29	$CH(CH_3)_2$	H	F	H	Br	H	83
I-30	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	Br	H	175
I-31	cyclopentyl	H	F	H	Br	H	161
I-32	CH_2CH_3	CH_2CH_3	F	H	Br	H	142
I-33	(±) $CH(CH_3)-CH_2CH_3$	H	F	H	Br	H	81
I-34	(±) $CH(CH_3)-C(CH_3)_3$	H	F	H	Br	H	196
I-35	(±) $CH(CH_3)-CF_3$	H	F	H	Br	H	157
I-36	CH_2CF_3	H	F	H	Br	H	108
I-37	$CH_2C(CH_3)=CH_2$	CH_2CH_3	F	F	H	H	116

No.	R^1	R^2	Hal	L^1	L^2	L^3	phys. data (m.p. [°C])
I-38	$CH(CH_3)_2$	H	F	F	H	H	138
I-39	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	F	H	H	208
I-40	cyclopentyl	H	F	F	H	H	65
I-41	CH_2CH_3	CH_2CH_3	F	F	H	H	135
I-42	(±) $CH(CH_3)-C(CH_3)_3$	H	F	F	H	H	140
I-43	$CH_2C(CH_3)=CH_2$	CH_2CH_3	F	H	H	F	121
I-44	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	H	F	181
I-45	(±) $CH(CH_3)-CH_2CH_3$	H	F	H	H	F	134
I-46	(±) $CH(CH_3)-C(CH_3)_3$	H	F	H	H	F	184
I-47	$CH_2C(CH_3)=CH_2$	CH_2CH_3	F	H	F	F	138
I-48	$CH(CH_3)_2$	H	F	H	F	F	138
I-49	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	F	F	192
I-50	cyclopentyl	H	F	H	F	F	165
I-51	(±) $CH(CH_3)-C(CH_3)_3$	H	F	H	F	F	149
I-52	(±) $CH(CH_3)-CF_3$	H	F	H	F	F	159
I-53	CH_2CF_3	H	F	H	F	F	178
I-54	$CH(CH_3)_2$	H	F	F	F	H	139
I-55	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	F	F	H	241
I-56	CH_2CH_3	CH_2CH_3	F	F	F	H	152
I-57	(±) $CH(CH_3)-CH_2CH_3$	H	F	F	F	H	123
I-58	(±) $CH(CH_3)-C(CH_3)_3$	H	F	F	F	H	160
I-59	(±) $CH(CH_3)-CF_3$	H	F	F	F	H	157

No.	R^1	R^2	Hal	L^1	L^2	L^3	phys. data (m.p.[°C])
I-60	CH_2CF_3	H	F	F	F	H	174
I-61	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	NH_2	H	249
I-62	(±) $CH(CH_3)-CF_3$	H	F	H	NH_2	H	196
I-63	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	$N(CH_3)_2$	H	143
I-64	(±) $CH(CH_3)-CF_3$	H	F	H	$N(CH_3)_2$	H	147
I-65	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	$NHCOCH_3$	H	135
I-66	(±) $CH(CH_3)-CF_3$	H	F	H	$NHCOCH_3$	H	147
I-67	$CH_2C(CH_3)=CH_2$	CH_2CH_3	Br	F	H	F	139
I-68	$CH(CH_3)_2$	H	Br	F	H	F	138
I-69	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		Br	F	H	F	153
I-70	cyclopentyl	H	Br	F	H	F	117
I-71	(±) $CH(CH_3)-CH_2CH_3$	H	Br	F	H	F	121
I-72	(S) $CH(CH_3)-CH_2CH_3$	H	Br	F	H	F	133
I-73	(R) $CH(CH_3)-CH_2CH_3$	H	Br	F	H	F	133
I-74	(±) $CH(CH_3)-CH(CH_3)_2$	H	Br	F	H	F	113
I-75	(S) $CH(CH_3)-CH(CH_3)_2$	H	Br	F	H	F	125
I-76	(R) $CH(CH_3)-CH(CH_3)_2$	H	Br	F	H	F	125
I-77	(±) $CH(CH_3)-C(CH_3)_3$	H	Br	F	H	F	119
I-78	(S) $CH(CH_3)-C(CH_3)_3$	H	Br	F	H	F	130
I-79	(R) $CH(CH_3)-C(CH_3)_3$	H	Br	F	H	F	130
I-80	(±) $CH(CH_3)-CF_3$	H	Br	F	H	F	65
I-81	(S) $CH(CH_3)-CF_3$	H	Br	F	H	F	78

No.	R^1	R^2	Hal	L^1	L^2	L^3	phys. data (m.p. [°C])
I-82	(R) $CH(CH_3)-CF_3$	H	Br	F	H	F	78
I-83	(S) $CH(CH_3)-CH_2CH_3$	H	F	H	F	H	79
I-84	(R) $CH(CH_3)-CH_2CH_3$	H	F	H	F	H	79
I-85	(S) $CH(CH_3)-CH(CH_3)_2$	H	F	H	F	H	133
I-86	(R) $CH(CH_3)-CH(CH_3)_2$	H	F	H	F	H	133
I-87	(S) $CH(CH_3)-C(CH_3)_3$	H	F	H	F	H	161
I-88	(R) $CH(CH_3)-C(CH_3)_3$	H	F	H	F	H	161
I-89	(R) $CH(CH_3)-CF_3$	H	F	H	F	H	116
I-90	$CH_2C(CH_3)=CH_2$	CH_2CH_3	F	H	Cl	H	123
I-91	(±) $CH(CH_3)-CH_2CH_3$	H	F	H	Cl	H	110
I-92	(S) $CH(CH_3)-CH_2CH_3$	H	F	H	Cl	H	99
I-93	(R) $CH(CH_3)-CH_2CH_3$	H	F	H	Cl	H	99
I-94	(±) $CH(CH_3)-CH(CH_3)_2$	H	F	H	Cl	H	141
I-95	(S) $CH(CH_3)-CH(CH_3)_2$	H	F	H	Cl	H	131
I-96	(R) $CH(CH_3)-CH(CH_3)_2$	H	F	H	Cl	H	131
I-97	(±) $CH(CH_3)-C(CH_3)_3$	H	F	H	Cl	H	191
I-98	(S) $CH(CH_3)-C(CH_3)_3$	H	F	H	Cl	H	186
I-99	(R) $CH(CH_3)-C(CH_3)_3$	H	F	H	Cl	H	185
I-100	(±) $CH(CH_3)-CF_3$	H	F	H	Cl	H	162
I-101	(S) $CH(CH_3)-CF_3$	H	F	H	Cl	H	162
I-102	(R) $CH(CH_3)-CF_3$	H	F	H	Cl	H	162
I-103	CH_2CF_3	H	F	H	Cl	H	146

No.	R^1	R^2	Hal	L^1	L^2	L^3	phys. data (m.p.[°C])
I-104	$C(CH_3)CH(CH_3)_2$	H	F	H	F	H	130
I-105	$CH_2C(CH_3)=CH_2$	CH_2CH_3	F	H	CF_3	H	140
I-106	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	CF_3	H	177
I-107	(±) $CH(CH_3)-CH_2CH_3$	H	F	H	CF_3	H	137
I-108	(S) $CH(CH_3)-CH_2CH_3$	H	F	H	CF_3	H	128
I-109	(R) $CH(CH_3)-CH_2CH_3$	H	F	H	CF_3	H	128
I-110	(±) $CH(CH_3)-CH(CH_3)_2$	H	F	H	CF_3	H	150
I-111	(S) $CH(CH_3)-CH(CH_3)_2$	H	F	H	CF_3	H	143
I-112	(R) $CH(CH_3)-CH(CH_3)_2$	H	F	H	CF_3	H	143
I-113	(±) $CH(CH_3)-C(CH_3)_3$	H	F	H	CF_3	H	193
I-114	(S) $CH(CH_3)-C(CH_3)_3$	H	F	H	CF_3	H	195
I-115	(R) $CH(CH_3)-C(CH_3)_3$	H	F	H	CF_3	H	194
I-116	(±) $CH(CH_3)-CF_3$	H	F	H	CF_3	H	167
I-117	(S) $CH(CH_3)-CF_3$	H	F	H	CF_3	H	135
I-118	(R) $CH(CH_3)-CF_3$	H	F	H	CF_3	H	135
I-119	CH_2CF_3	H	F	H	CF_3	H	143
I-120	$CH_2C(CH_3)=CH_2$	CH_2CH_3	F	H	H	CH_3	121
I-121	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	H	CH_3	141
I-122	(±) $CH(CH_3)-CH_2CH_3$	H	F	H	H	CH_3	134
I-123	(S) $CH(CH_3)-CH_2CH_3$	H	F	H	H	CH_3	131
I-124	(R) $CH(CH_3)-CH_2CH_3$	H	F	H	H	CH_3	131
I-125	(±) $CH(CH_3)-CH(CH_3)_2$	H	F	H	H	CH_3	158

No.	R^1	R^2	Hal	L^1	L^2	L^3	phys. data (m.p. [°C])
I-126	(S) $CH(CH_3)-CH(CH_3)_2$	H	F	H	H	CH_3	159
I-127	(R) $CH(CH_3)-CH(CH_3)_2$	H	F	H	H	CH_3	159
I-128	(±) $CH(CH_3)-C(CH_3)_3$	H	F	H	H	CH_3	181
I-129	(S) $CH(CH_3)-C(CH_3)_3$	H	F	H	H	CH_3	171
I-130	(R) $CH(CH_3)-C(CH_3)_3$	H	F	H	H	CH_3	171
I-131	(±) $CH(CH_3)-CF_3$	H	F	H	H	CH_3	170
I-132	(S) $CH(CH_3)-CF_3$	H	F	H	H	CH_3	140
I-133	(R) $CH(CH_3)-CF_3$	H	F	H	H	CH_3	140
I-134	CH_2CF_3	H	F	H	H	CH_3	185
I-135	$CH_2C(CH_3)=CH_2$	CH_2CH_3	Cl	H	F	H	128
I-136	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		Cl	H	F	H	124
I-137	(±) $CH(CH_3)-CH_2CH_3$	H	Cl	H	F	H	155
I-138	(S) $CH(CH_3)-CH_2CH_3$	H	Cl	H	F	H	130
I-139	(R) $CH(CH_3)-CH_2CH_3$	H	Cl	H	F	H	131
I-140	(±) $CH(CH_3)-CH(CH_3)_2$	H	Cl	H	F	H	121
I-141	(S) $CH(CH_3)-CH(CH_3)_2$	H	Cl	H	F	H	108
I-142	(R) $CH(CH_3)-CH(CH_3)_2$	H	Cl	H	F	H	109
I-143	(±) $CH(CH_3)-C(CH_3)_3$	H	Cl	H	F	H	156
I-144	(S) $CH(CH_3)-C(CH_3)_3$	H	Cl	H	F	H	153
I-145	(R) $CH(CH_3)-C(CH_3)_3$	H	Cl	H	F	H	153
I-146	(±) $CH(CH_3)-CF_3$	H	Cl	H	F	H	194
I-147	(S) $CH(CH_3)-CF_3$	H	Cl	H	F	H	155

No.	R^1	R^2	Hal	L^1	L^2	L^3	phys. data (m.p. [°C])
I-148	(R) $CH(CH_3)-CF_3$	H	Cl	H	F	H	155
I-149	CH_2CF_3	H	Cl	H	F	H	176
I-150	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	Cl	H	187
I-151	(±) $CH(CH_3)-CH_2CH_3$	H	F	F	H	H	133
I-152	(S) $CH(CH_3)-CH_2CH_3$	H	F	F	H	H	137
I-153	(R) $CH(CH_3)-CH_2CH_3$	H	F	F	H	H	137
I-154	(±) $CH(CH_3)-CH(CH_3)_2$	H	F	F	H	H	135
I-155	(S) $CH(CH_3)-CH(CH_3)_2$	H	F	F	H	H	124
I-156	(R) $CH(CH_3)-CH(CH_3)_2$	H	F	F	H	H	124
I-157	(S) $CH(CH_3)-C(CH_3)_3$	H	F	F	H	H	142
I-158	(R) $CH(CH_3)-C(CH_3)_3$	H	F	F	H	H	142
I-159	(±) $CH(CH_3)-CF_3$	H	F	F	H	H	187
I-160	(S) $CH(CH_3)-CF_3$	H	F	F	H	H	149
I-161	(R) $CH(CH_3)-CF_3$	H	F	F	H	H	149
I-162	CH_2CF_3	H	F	F	H	H	186
I-163	(S) $CH(CH_3)-CH_2CH_3$	H	F	H	H	F	131
I-164	(R) $CH(CH_3)-CH_2CH_3$	H	F	H	H	F	131
I-165	(±) $CH(CH_3)-CH(CH_3)_2$	H	F	H	H	F	159
I-166	(S) $CH(CH_3)-CH(CH_3)_2$	H	F	H	H	F	162
I-167	(R) $CH(CH_3)-CH(CH_3)_2$	H	F	H	H	F	162
I-168	(S) $CH(CH_3)-C(CH_3)_3$	H	F	H	H	F	180
I-169	(R) $CH(CH_3)-C(CH_3)_3$	H	F	H	H	F	180

No.	R^1	R^2	Hal	L^1	L^2	L^3	phys. data (m.p.[°C])
I-170	(±) $CH(CH_3)-CF_3$	H	F	H	H	F	63
I-171	(S) $CH(CH_3)-CF_3$	H	F	H	H	F	59
I-172	(R) $CH(CH_3)-CF_3$	H	F	H	H	F	59
I-173	$CH_2C(CH_3)=CH_2$	CH_2CH_3	F	H	NO_2	H	170
I-174	$CH(CH_3)_2$	H	F	H	NO_2	H	169
I-175	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		F	H	NO_2	H	231
I-176	cyclopentyl	H	F	H	NO_2	H	201
I-177	(±) $CH(CH_3)-C(CH_3)_3$	H	F	H	NO_2	H	165
I-178	(±) $CH(CH_3)-CF_3$	H	F	H	NO_2	H	241
I-179	CH_2CF_3	H	F	H	NO_2	H	237
I-180	$CH_2C(CH_3)=CH_2$	CH_2CH_3	Cl	H	NO_2	H	166
I-181	$-(CH_2)_2CH(CH_3)(CH_2)_2-$		Cl	H	NO_2	H	204

In some cases of chiral groups R^1 and due to the hindered rotation of the phenyl group two diastereomers exist which may differ in their physical properties.

Examples for the action against harmful fungi

The fungicidal action of the compounds of formula I was demonstrated by the following experiments:

The active ingredients, separately or jointly, were used to prepare a stock solution comprising 0.25 % by weight of active ingredient in acetone or DMSO. 1% by weight of the emulsifier Uniperol® EL (emulsifying and dispersing wetter based on ethoxylated alkylphenols) was added to this solution and the mixture was diluted with water to give the desired concentration.

Use Example 1 - Fungicidal control of early blight on tomatoes (*Alternaria solani*)

Leaves of pot grown tomato seedlings of the "Große Fleischtomate St. Pierre" variety were sprayed with an aqueous suspension containing the active compound in the concentration mentioned below. The next day the leaves were infected with a zoospore suspension of *Alternaria solani* (0.17×10^6 spores per ml of a 2% strength biomalt solution). The plants were then placed in a water vapour-saturated chamber at 20 to 22°C. After 5 days the disease had spread to such a great extent on the untreated plants that the fungicidal activity of the substances could be assessed.

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-8, I-17, I-20, I-21, I-25, I-28, I-90, I-91, I-94, I-97, and I-101, resp., showed an infection of not more than 7 %, whereas the untrated plants were infected to 90 %.

Use Example 2 - Control of gray mould (*Botrytis cinerea*) on paprika leaves

Paprika seedlings were sprayed to run-off at the four- to five leave stage with an aqueous suspension containing the concentration of active ingredient mentioned below. The next day the plants were inoculated with a spore suspension of *Botrytis cinerea* containing 1.7×10^6 spores per ml in 2 wt. % aqueous biomalt solution. The infected plants were then incubated in chambers with high humidity for five days at 22-24°C. The extent of fungus spread was assessed as %-attack of the whole leaf surface.

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-5, I-8, I-17, and I-20, resp., showed an infection of not more than 5 %, whereas the the unteated plants were infected to 85 %.

Use Example 3 - Fungicidal control of grape downy mildew (*Plasmopara viticola*)

Leaves of potted vines of the "Müller Thurgau" variety were sprayed with aqueous liquors made from a stock solution containing the concentration of active ingredient mentioned below. The next day they were inoculated with an aqueous spore suspension of *Plasmopara viticola* by spraying it at the lower leaf-side. Then the trial plants were transferred for 48 h to a humid chamber with about 24°C and a relative humidity close to 100 %. For a period of 5 days, cultivation followed in a greenhouse at 20 to 30°C. To stimulate the outbreak of the disease symptoms, the plants were transferred to a humid chamber again for 16 hours. Then the extent of fungal attack on the lower leaf surface was visually assessed as % diseased leaf area.

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-8, I-17, and I-20, resp., showed an infection of not more than 15 %, whereas the unteated plants were infected to 95 %.

Use Example 4 - Action on *Pyricularia oryzae* (protective action)

Leaves of pot grown rice seedlings of the "Tai-Nong 67" variety were sprayed to runoff with an aqueous suspension, containing the concentration of active ingredient mentioned below. The next day the plants were inoculated with an aqueous spore suspension of *Pyricularia oryzae*. The plants were then placed for 6 days in a humid chamber at 22 to 24°C and a relative humidity of 95 to 99 %. The extent of fungus spread was assessed as %-attack of the whole leaf surface.

In this test, the plants which had been treated with 250 ppm of compounds I-2, I-4, I-5, and I-20, resp., showed an infection of not more than 15%, whereas the unteated plants were infected to 80 %.

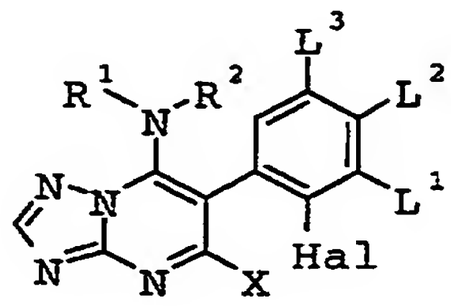
Use Example 5 - Control of net blotch on barley caused by *Pyrenophora teres*

Leaves of pot grown barley seedlings of the variety "Igri" were sprayed to run-off with an aqueous suspension, containing the concentration of active ingredient mentioned below. The next day the treated plants were inoculated with an aqueous spore suspension of *Pyrenophora [syn. Drechslera] teres*. Then the trial plants were immediately transferred to a humid chamber in the greenhouse. After 6 days of cultivation at 20-24°C and a relative humidity close to 100 %, the extent of fungal attack on the leaves was visually assessed as % diseased leaf area.

In this test, which had been treated with 250 ppm of compounds I-21, I-25, I-28, I-43, I-45, I-91, I-94, and I-97, resp., showed an infection of not more than 10 %, whereas the untreated plants were infected to 90 %.

Claims:

1. Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula I



I

in which

R^1 denote C_1-C_{10}-alkyl, C_2-C_{10}-alkenyl, C_2-C_{10}-alkynyl, or C_4-C_{10}-alkadienyl, C_1-C_{10}-haloalkyl, C_2-C_{10}-haloalkenyl, C_3-C_{10}-cycloalkyl, phenyl, naphthyl, or

a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom,

wherein R^1 and R^2 radicals may be unsubstituted or partly or fully halogenated or may carry one to three groups R^a,

R^a is cyano, nitro, hydroxyl, C_1-C_6-alkyl, C_3-C_6-cycloalkyl, C_1-C_6-alkoxy, C_1-C_6-alkylthio, C_1-C_6-alkylamino, di-C_1-C_6-alkylamino, C_2-C_6-alkenyl, C_2-C_6-alkenyloxy, C_2-C_6-alkynyl, C_3-C_6-alkynyloxy, or C_1-C_4-alkylenedioxy; or

R^2 denote hydrogen, or a group mentioned for R^1; or

R^1 and R^2 together with the interjacent nitrogen atom represent a saturated or partially unsaturated 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted by one to three R^a radicals;

Hal is halogen;

L^1, L^3 independently denote hydrogen, halogen, or C_1-C_4-alkyl;

L^2 is hydrogen, halogen, C_1-C_4-haloalkyl, or NH_2, NHR^b, or $N(R^b)_2$,

R^b is C_1-C_8-alkyl, C_3-C_{10}-alkenyl, C_3-C_{10}-alkynyl, C_1-C_6-haloalkyl, C_3-C_6-haloalkenyl, C_3-C_6-haloalkynyl, C_1-C_8-alkoxy-C_1-C_8-alkyl, C_1-C_8-alkylthio-C_1-C_8-alkyl, C_3-C_{10}-cycloalkyl, or C(=O)-A, in which

A is hydrogen, hydroxy, C_1-C_8-alkyl, C_1-C_8-alkoxy, C_1-C_6-halogenalkoxy, C_1-C_8-alkylamino or di-(C_1-C_8-alkyl)amino;

wherein at least one from L^1, L^2, and L^3 is not hydrogen;

X is halogen, cyano, C_1-C_6-alkyl, C_1-C_6-alkoxy, C_1-C_6-haloalkoxy or C_3-C_8-alkenyloxy.

2. Compounds of formula I according to claim 1, in which

R^1 is straight chained or branched C_2-C_6-alkenyl, C_1-C_6-alkyl, or C_1-C_{10}-haloalkyl, and

R^2 is hydrogen or C_1-C_6-alkyl, or

R^1 and R^2 together with the interjacent nitrogen atom represent a heterocyclic ring with 5 or 6 carbon atoms being optionally substituted with one or two C_1-C_4-alkyl groups.

3. Compounds according to any one of claims 1 or 2 in which R^1 and R^2 together with the interjacent nitrogen atom represent a 5- or 6-membered heterocyclic ring being optionally substituted with one or two methyl groups.

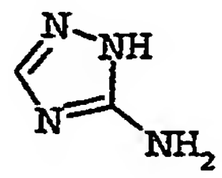
4. Compounds a formula I to any one of claims 1 to 3 in which X is halogen.

5. Compounds a formula I according to claims 1 to 4 in which thew 6-(2-halogenphenyl)group represents one of the following moieties:

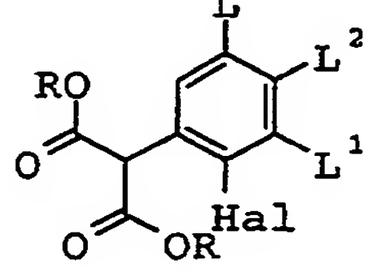
2,3,5-trifluorophenyl, 2,4-difluorophenyl, 2-F,4-CF_3-phenyl, 2-F,5-CH_3-phenyl, 2-Cl,4-F-phenyl, 2-F,4-Cl-phenyl, 2-F,4-Br-phenyl, 2-Cl,4-Br-phenyl, 2,3-difluorophenyl, 2,4-difluorophenyl, 2,4,5-trifluorophenyl, 2,3,4-trifluorophenyl,

2-F,4-NHC(O)CH_3-phenyl, 2-Br,3,5-difluorophenyl, 2-F,4-NO_2-phenyl, and 2-Cl,4-NO_2-phenyl.

6. A process for the preparation of compounds of formula I as defined in claims 4 and 5 which comprises reacting 5-amino-1,2,4-triazole

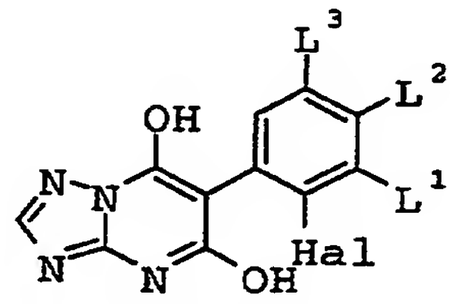


with 2-phenyl-substituted malonic acid ester of formula II,



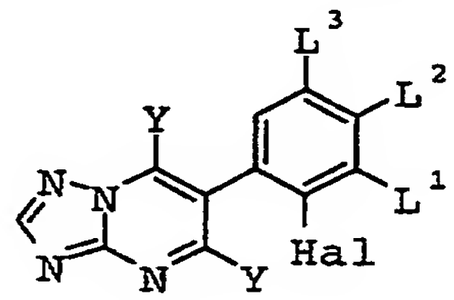
II

wherein Hal, L^1, L^2, and L^3 are as defined in formula I, and R denotes C_1-C_6-alkyl, under alkaline conditions, to yield compounds of formula III,



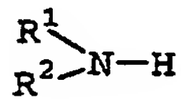
III

which are subsequently treated with a halogenating agent to give 5,7-dihalogen-6-phenyl-triazolopyrimidines of formula IV



IV

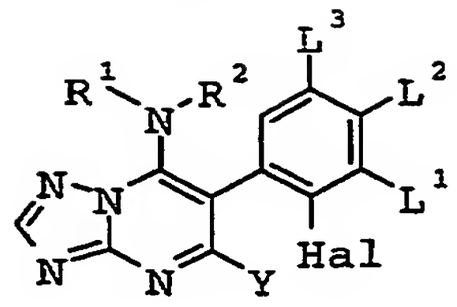
in which Y is halogen, with an amine of formula V



V

in which R^1 and R^2 are as defined in formula I to produce compounds of formula I.

7. A process for the preparation of compounds of formula I according to claim 1 wherein X is cyano, C_1-C_{10}-alkoxy, or C_1-C_{10}-haloalkyl, which comprises reacting 5-halogen-triazolopyrimidine of formula I',



I' (X=Hal)

wherein Y is halogen, with compounds of formula VI,

M-X' VI

which are, dependent from the value of X' to be introduced, an anorganic cyano salt, an alkoxylate, haloalkoxylate or an alkenyloxylate, resp., wherein M is ammonium-, tetraalkylammonium-, alkalimetal- or earth metal cation, to produce compounds of formula I.

8. Intermediates of formulae II, III, and IV as defined in claim 6.

9. A composition suitable for controlling phytopathogenic fungi, comprising a solid or liquid carrier and a compound of the formula I as claimed in claim 1.

10. A method for controlling phytopathogenic fungi, which comprises treating the fungi or the materials, plants, the soil or the seed to be protected against fungal attack with an effective amount of a compound of the formula I as claimed in claim 1.

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(71) Applicant *(for all designated States except US)*: **BASF AKTIENGESELLSCHAFT** [DE/DE]; Carl-Bosch-Strasse, 67056 Ludwigshafen (DE).

(72) Inventors; and

(75) Inventors/Applicants *(for US only)*: **TORMO I BLASCO, Jordi** [ES/DE]; Carl-Benz-Str. 10-3, 69514 Laudenbach (DE). **BLETTNER, Carsten** [DE/DE]; Richard-Wagner-Str. 48, 68165 Mannheim (DE). **MÜLLER, Bernd** [DE/DE]; Stockingerstr.7, 67227 Frankenthal (DE). **GEWEHR, Markus** [DE/DE]; Goethestr. 21, 56288 Kastellaun (DE). **GRAMMENOS, Wassilios** [GR/DE]; Alexander-Fleming-Str. 13, 67071 Ludwigshafen (DE). **GROTE, Thomas** [DE/DE]; Im Höhnhausen 18, 67157 Wachenheim (DE). **GYPSER, Andreas** [DE/DE]; B 4, 4, 68159 Mannheim (DE). **RHEINHEIMER, Joachim** [DE/DE]; Merziger Str.24, 67063 Ludwigshafen (DE). **SCHÄFER, Peter** [DE/DE]; Römerstr.1, 67308 Ottersheim (DE). **SCHIEWECK, Frank** [DE/DE]; Lindenweg 4, 67258 Hessheim (DE). **SCHWÖGLER, Anja** [DE/DE]; Heinrich-Lanz-Str. 3, 68165 Mannheim (DE). **AMMERMANN, Eberhard** [DE/DE]; Von-Gagern-Str.2, 64646 Heppenheim (DE). **STRATHMANN, Siegfried** [DE/DE]; Donnersbergstr.9, 67117 Limburgerhof (DE). **SCHÖFL, Ulrich** [DE/DE]; Luftschiffring 22c, 68782 Brühl (DE). **STIERL, Reinhard** [DE/DE]; Jahnstr. 8, 67251 Freinsheim (DE).

(74) Common Representative: **BASF AKTIENGESELLSCHAFT**; 67056 Ludwigshafen (DE).

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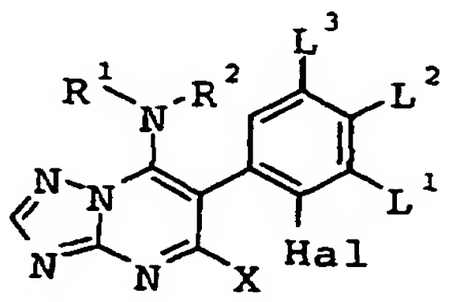
For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

WO 2004/041824 A3

(54) Title: 6-(2-HALOGENPHENYL)-TRIAZOLOPYRIMIDINES DERIVATIVES AND THEIR USE AS FUNGICIDE



(I)

(57) Abstract: Substituted 6-(2-halogenphenyl)-triazolopyrimidines of formula (I) in which R1 denote alkyl, alkenyl, alkynyl, alkadienyl, haloalkyl, haloalkenyl, cycloalkyl, phenyl, naphthyl, or a 5- or 6-membered saturated, unsaturated, or aromatic heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, wherein R^1 and R^2 radicals may be substituted as defined in the description, R^2denote hydrogen, or a group mentioned for R^1; or R^1 and R^2 together with the interjacent nitrogen atom represent a 5- or 6-membered heterocycle, containing one to four nitrogen atoms or one to three nitrogen atoms and one sulfur or oxygen atom, which ring may be substituted as defined in the description; Hal is halogen; L^1, L^3 independently denote hydrogen, halogen, or alkyl; L^2 is hydrogen, halogen, haloalkyl, or NH_2, NHR^b, or $N(Rb)_2$, wherein Rb is as defined in the description,wherein at least one from L^1, L^2, and L^3 is not hydrogen; X is halogen, cyano, alkyl, alkoxy, haloalkoxy or alkenyloxy processes for their preparation, compositions containing them and to their use for combating phytopathogenic fungi.

INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/12276

A. CLASSIFICATION OF SUBJECT MATTER
IPC 7 C07D487/04 A01N43/90 C07C69/76

According to International Patent Classification (IPC) or to both national classification and IPC

B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)
IPC 7 C07D A01N C07C

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)
EPO-Internal, WPI Data, CHEM ABS Data

C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 98 46608 A (AMERICAN CYANAMID CO) 22 October 1998 (1998-10-22) cited in the application page 1, line 2-5 examples 47,62 claims 1,8-10	1-10
X	FR 2 765 875 A (AMERICAN CYANAMID CO) 15 January 1999 (1999-01-15) page 1, line 1-6 claim 1	1-10
X	EP 0 550 113 A (SHELL INT RESEARCH) 7 July 1993 (1993-07-07) page 1, line 1-3 claim 1	1-10

-/--

[X] Further documents are listed in the continuation of box C.

[X] Patent family members are listed in annex.

° Special categories of cited documents :

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"O" document referring to an oral disclosure, use, exhibition or other means

"P" document published prior to the international filing date but later than the priority date claimed

"T" later document published after the international filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention

"X" document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone

"Y" document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.

"&" document member of the same patent family

Date of the actual completion of the international search	Date of mailing of the international search report
13 April 2004	22 04 2004

Name and mailing address of the ISA	Authorized officer
European Patent Office, P.B. 5818 Patentlaan 2 NL – 2280 HV Rijswijk Tel. (+31-70) 340-2040, Tx. 31 651 epo nl, Fax: (+31-70) 340-3016	Samsam Bakhtiary, M

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INTERNATIONAL SEARCH REPORT

International Application No
PCT/EP 03/12276

C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category °	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	FR 2 784 991 A (AMERICAN CYANAMID CO) 28 April 2000 (2000-04-28)	1,3-10
A	claim 1	2
X	US 5 986 135 A (COTTER HENRY VAN TUYL ET AL) 16 November 1999 (1999-11-16) column 1, line 1-10 examples 8,15,16	1-10
A	FR 2 784 381 A (AMERICAN CYANAMID CO) 14 April 2000 (2000-04-14) claim 1	1-10
A	EP 0 071 792 A (BASF AG) 16 February 1983 (1983-02-16) page 10, line 1-4,11-15 example 52 claim 1	1-10
A	WO 02 50077 A (HENRICH MARIELOUISE ;MAULER-MACHNIK ASTRID (DE); HILGERS PETRA (DE) 27 June 2002 (2002-06-27) claim 1	1-10
P,X	WO 02 094020 A (KUGLER MARTIN ;KUHNT DIETMAR (DE); RIECK HEIKO (DE); BAYER AG (DE)) 28 November 2002 (2002-11-28) claim 1	1-10

INTERNATIONAL SEARCH REPORT

International application No.
PCT/EP 03/12276

Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1. ☐ Claims Nos.:
because they relate to subject matter not required to be searched by this Authority, namely:

2. ☐ Claims Nos.:
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:

3. ☐ Claims Nos.:
because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple inventions in this international application, as follows:

see additional sheet

1. ☐ As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.

2. ☐ As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.

3. [X] As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:

1(part),2(part),3-10

4. ☐ No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

Remark on Protest

☐ The additional search fees were accompanied by the applicant's protest.

[X] No protest accompanied the payment of additional search fees.

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

This International Searching Authority found multiple (groups of) inventions in this international application, as follows:

1. Claims: 1(part),2(part),4-10

Triazolopyrimidine derivatives, when R2= hydrogen, R1= aliphatic group (claim 1,2,4,5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

2. Claims: 1(part),4-10

Triazolopyrimidine derivatives, when R2= hydrogen, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

3. Claims: 1(part),2(part), 4-10

Triazolopyrimidine derivatives, when R2= aliphatic group, R1= aliphatic group (claim 1,2,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

4. Claims: 1(part),4-10

Triazolopyrimidine derivatives, when R2= aliphatic group, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

5. Claims: 1(part), 4-9

Triazolopyrimidine derivatives, when R2= cyclic group, R1= cyclic group (claim 1,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these compounds for controlling phytopathogenic fungi (claim 10).

6. Claims: 1(part), 3-10

Triazolopyrimidine derivatives, when R1 and R2 together form an heterocycle (claim 1,3,4 and 5);process to make these compounds (claims 6 and 7); their intermediates (claim 8); their fungicidal composition (claim 9) and use of these

FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210

compounds for controlling phytopathogenic fungi (claim 10).

INTERNATIONAL SEARCH REPORT

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